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                  CAS patent coverage enhanced to include exemplified
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NEWS 14 MAR 31
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NEWS 16 MAR 31 CA/Caplus and CASREACT patent number format for U.S.
                  applications updated
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                  predefined hit display formats
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chain nodes :

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11 12 13 14 15 16 17 18 ring nodes:
1 2 3 4 5 6 7 8 9 10 chain bonds:
2 14 3-18 7-17 8-11 11-12 11-16 12-13 14-15 ring bonds:
1 -2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 exact/norm bonds:
2 -14 5-7 6-10 7-8 7-17 8-9 9-10 11-12 11-16 12-13 exact bonds:
3 -18 8-11 14-15 normalized bonds:
1 -2 1-6 2-3 3-4 4-5 5-6

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

L1 STRUCTURE UPLOADED

=> d 11 L1 HAS NO ANSWERS L1 STR

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=> s 11 sss full

FULL SEARCH INITIATED 19:47:51 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 3676 TO ITERATE

100.0% PROCESSED 3676 ITERATIONS SEARCH TIME: 00.00.01 482 ANSWERS

TOTAL

SESSION

L2 482 SEA SSS FUL L1

=> file caplus

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FULL ESTIMATED COST 179.28 179.49

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FILE COVERS 1907 - 20 May 2008 VOL 148 ISS 21

FILE LAST UPDATED: 19 May 2008 (20080519/ED)

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=> s 12 L3 4 L2

=> d 13 1-4 abs ibib

L3 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Process for producing compds. I [X = CR7, N; Y = CR6, N; R2 = (un) substituted alkyl, cycloalkyl, arvl, etc.; R3 = halo, alkyl, O-alkyl; R4 = (un)substituted cycloalkyl, non aromatic heterocycle, alkyl substituted by cycloalkyl; further detail on R4 is given.; R5 = H, halo, cyano, etc.; R6 = H, halo, alkyl, etc.; R7 = H, halo, alkyl, etc.; R11 = H, (un) substituted alkyl, optionally substituted amino by (un) substituted alkyl; R12 = H, (un)substituted alkyl, aryl; R11 and R12 may combine to form cyclic amino group in cooperation with the adjacent nitrogen.] or their pharmaceutically acceptable salts, characterized by reaction of compds. II [X, Y, R2-R5 = same as above] or active derivs. thereof with NHR11R12 [R11, R12 = same as above], was provided. For example, to a solution of compound III [R = OH; R' = cyclopentyl] (400 mg) in DMF (5.0 mL) was added 1,1'-carbonyldiimidazole (350 mg) at room temperature, the the reaction was stirred at 100 °C for 20 h. The resulting mixture was treated with Et3N (0.2 mL) and glycine Et ester hydrochloride (180 mg) at

room temperature for 5 h to give compound III [R = NHCH2CO2Et; R' =

NHCH2CH2P(:0)(OH)2; R' = 2,2-dimethyl-1,3-dioxan-5-yl] exhibited the activity of 92%.

ACCESSION NUMBER: 2006:882644 CAPLUS DOCUMENT NUMBER: 145:292885

TITLE: Ouinolone and related compounds as platelet aggregation inhibitors, and process for the

In platelet aggregation inhibition assays, compound III [R =

preparation thereof

Watanuki, Susumu; Koga, Yuji; Moritomo, Hirovuki; INVENTOR(S): Tsukamoto, Kazunari; Kaga, Daisuke; Okuda, Takao; Hiravama, Fukushi; Moritani, Yumiko; Takahashi,

Atsushi PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 95pp.

CODEN: JKXXAF DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE JP 2006225379 20060831 JP 2006-9367 20060118 A 20050120 PRIORITY APPLN. INFO.: JP 2005-12618 OTHER SOURCE(S): MARPAT 145:292885

AB Title compde. I [X = CR7, N, Y = CR6, N, R11 = H, (un)substituted alkyl, optionally substituted amino by (un)substituted alkyl, R12 = H, (un)substituted alkyl, aryl, R11 and R12 may combine to form a (un)substituted alkyl, aryl, R11 and R12 may combine to form a (un)substituted cyclic amino group in cooperation with the adjacent nitrogen; R2 = (un)substituted alkyl, cycloalkyl, aryl, etc.; R3 = halo, alkyl, -O-alkyl; R4 = (un)substituted cycloalkyl, non aromatic heterocycle, alkyl substituted by cycloalkyl; further detail on R4 is given.; R5 = H, halo, cyano, etc.; R6 = H, halo, alkyl, etc.; R7 = H, halo, alkyl, etc.] and their pharmaceutically acceptable salts were prepared For example, Pd/C catalyzed debenzylation of compound II [R = OCH2Ph] under H2 afforded compound II [R = OH]. In platelet aggregation inhibition assays, compound II [R = OH] exhibited the activity of 92%.

II

Ι

ACCESSION NUMBER: 2006:882641 CAPLUS

DOCUMENT NUMBER: 145:292884

TITLE: Preparation of quinolone derivatives as platelet

aggregation inhibitors
INVENTOR(S): Watanuki, Susumu; Koga, Yuji; Moritomo, Hiroyuki;

Tsukamoto, Kazunari; Kaga, Daisuke; Okuda, Takao;
Hirayama, Fukushi; Moritani, Yumiko; Takasaki, Atsushi
PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 95pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

L3 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

The title compds. (I) and pharmaceutically acceptable salts thereof AB characterized by each having an amide group at the 3-position which is substituted with a substituent having a carboxylate ester, phosphate ester, sulfate ester or the like, and an amino group at the 7-position which is substituted with a substituent having a ring structure [Y = C-R6; R6 = H, halo, lower alkyl, halo-lower alkyl; R2 = each (un)substituted lower alkyl, cycloalkyl, aryl, or heterocyclyl; R3 = halo; R5 = H, HO, halo; R11 = H, lower alkyl or lower alkyl-amino wherein lower alkyl is optionally substituted; R12 = (un)substituted lower alkyll are prepared These compds, have excellent P2Y12 (adenine diphosphate receptor) inhibitory effect and platelet agglutination inhibitory effect and consequently are useful as platelet agglutination inhibitors. Thus, hydrogenolysis of [2-(([7-(Cyclohexylamino)-1-(2,2-dimethyl-1,3-dioxan-5y1)-6-fluoro-4-oxo-1,4-dihydroquinolin-3-y1]carbony1)amino)ethy1]phosphoni c acid dibenzyl ester over 10% Pd-C in MeOH under hydrogen atmospheric for 3 h gave [2-(([7-(Cyclohexylamino)-1-(2,2-dimethyl-1,3-dioxan-5-yl)-6-fluoro-4oxo-1, 4-dihydroquinolin-3-yl]carbonyl)amino)ethyl]phosphonic acid (II). II inhibited ADP-induced aggregation of human blood platelet by 92% at 10 μM and the binding of [3H]-2-MeS-ADP to human P2Y12 by 96% at 30 nM.

ACCESSION NUMBER: 2006:733081 CAPLUS

DOCUMENT NUMBER: 145:188746

TITLE: Preparation of 4-quinolone-3-carboxamide derivatives and salts thereof as platelet aggregation inhibitors INVENTOR(S): Koga, Yuii: Okuda, Takao: Hirabayashi, Ryoji:

Koga, Yuji; Okuda, Takao; Hirabayashi, Ryoji; Fujiyasu, Jiro; Miyazaki, Takehiro; Watanuki, Susumu; Hirayama, Fukushi; Moritani, Yumiko; Takasaki, Jun

PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan SOURCE: PCT Int. Appl., 150 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PATENT NO. | | | KIND | | DATE | | | APPLICATION NO. | | | | | DATE | | | | | | |
|------------|------|------|------|-----|------|-----|------|-----------------|-----|---------------|------|------|------|------------|-----|------|-----|--|--|
| | | | | | | | | | | | | | | | | | | | |
| WO | 2006 | 0778 | 51 | | A1 | | 2006 | 0727 | | WO 2 | 006- | JP30 | 0590 | | 2 | 0060 | 118 | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | | |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | | |
| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KM, | KN, | KP, | KR, | | |
| | | KZ, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | LY, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | | |
| | | MZ, | NA, | NG, | NI, | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | | |
| | | SG, | SK, | SL, | SM, | SY, | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | | |
| | | VN, | YU, | ZA, | ZM, | ZW | | | | | | | | | | | | | |
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| | | IS, | ΙT, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, | BJ, | | |
| | | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | ΝE, | SN, | TD, | TG, | BW, | GH, | | |
| | | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | ΤZ, | UG, | ZM, | ZW, | AM, | AZ, | BY, | | |
| | | | | | RU, | | | | | | | | | | | | | | |
| JP | 2008 | 0947 | 20 | | A | | 2008 | 0424 | | JP 2 | 005- | 1271 | 5 | 20050120 | | | | | |
| | APP | | | | | | | | | JP 2005-12715 | | | | A 20050120 | | | | | |
| R SC | URCE | (S): | | | MARI | PAT | 145: | 1887 | 46 | | | | | | | | | | |

PRIOR OTHER REFERENCE COUNT:

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Title compds. I [X = CR7, N; Y = CR6, N; R11 = H, (un) substituted alkyl, AB etc.; R12 = H, (un)substituted alkyl, etc.; R2 = (un)substituted alkyl,

ΙI

etc.; R3 = halo, etc.; R4 = (un)substituted cycloalkyl, etc.; R5 = H, halo, etc.; R6 = H, halo, etc.; R7 = H, halo, etc.] were prepared For example, hydrogenolysis of compound II [A = OCH2Ph] afforded compound II [A = OH]. In platelet aggregation inhibition assays, compound II [A = OH] exhibited inhibition activity of 92%. Compds. I are claimed useful as

platelet aggregation inhibitors, P2Y12 inhibitors. ACCESSION NUMBER: 2005:99478 CAPLUS

DOCUMENT NUMBER: 142:197896

TITLE: Preparation of quinolone derivatives as platelet

aggregation inhibitors INVENTOR(S):

Watanuki, Susumu; Koga, Yuji; Moritomo, Hiroyuki; Tsukamoto, Issei; Kaga, Daisuke; Okuda, Takao; Hirayama, Fukushi; Moritani, Yumiko; Takasaki, Jun

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 120 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent. LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| PAT | TENT : | NO. | | | KIND DATE | | | | APPLICATION NO. | | | | | | DATE | | | |
|----------|---------------|------|------|-----|-----------|-----|----------|------|-----------------|----------------|------|-------|----------|-----|------------|------|-----|--|
| | | | | | | | | | | | | | | | | | | |
| WO | WO 2005009971 | | | | A1 | | 20050203 | | | WO 2 | 004- | | 20040722 | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | ΒZ, | CA, | CH, | |
| | | CN, | co, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FΙ, | GB, | GD, | |
| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KΡ, | KR, | ΚZ, | LC, | |
| | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, | NI, | |
| | | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SY, | |
| | | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW | |
| | RW: | BW, | GH, | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | |
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| | | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, | IT, | LU, | MC, | NL, | PL, | PT, | RO, | SE, | |
| | | SI, | SK, | TR, | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | |
| | | SN, | TD, | TG | | | | | | | | | | | | | | |
| JP | 2005 | 0539 | 03 | | A | | 2005 | 0303 | JP 2004-212326 | | | | | | 20040720 | | | |
| CA | 2530 | 352 | | | A1 | | 2005 | 0203 | CA 2004-2530352 | | | | | | 20040722 | | | |
| EP | 1650 | 192 | | | A1 | | 2006 | 0426 | | EP 2 | 004- | 7480 | 45 | | 20040722 | | | |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, | |
| | | IE, | SI, | FI, | RO, | CY, | TR, | BG, | CZ, | EE, | HU, | PL, | SK | | | | | |
| CN | 1826 | 321 | | | A | | 2006 | 0830 | | CN 2 | 004- | 8002 | 1187 | | 2 | 0040 | 722 | |
| US | 2006 | 0148 | 806 | | A1 | | 2006 | 0706 | | US 2 | 005- | 5621: | 28 | | 2 | 0051 | 223 | |
| IN | 2006 | DN00 | 144 | | A | | 2007 | 0824 | | IN 2 | 006- | DN14 | 4 | | 2 | 0060 | 109 | |
| MX | 2006 | PA00 | 675 | | A | | 2006 | 0419 | | MX 2006-PA675 | | | | | 2 | 0060 | 118 | |
| PRIORITY | Y APP | LN. | INFO | . : | | | | | | JP 2003-278852 | | | | | A 20030724 | | | |
| | | | | | | | | | | WO 2 | 004- | JP10 | 781 | 1 | W 2 | 0040 | 722 | |

OTHER SOURCE(S): MARPAT 142:197896 REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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1 2 3 4 5 6 7 8 9 10 chain bonds:
2-14 3-18 7-17 8-11 11-12 11-16 12-13 14-15 ring bonds:
2-14 3-16 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 exact/norm bonds:
2-14 5-7 6-10 7-8 7-17 8-9 9-10 11-12 11-16 12-13 exact bonds:
3-18 8-11 14-15 normalized bonds:
1-2 1-6 2-3 3-4 4-5 5-6

Match level :

chain nodes :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

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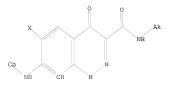
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ring nodes:
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chain bonds:
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ring bonds:
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exact/norm bonds:
2-14 5-7 6-10 7-8 7-17 8-9 9-10 11-12 11-16 12-13
exact bonds:
3-18 8-11 14-15
normalized bonds:
1-2 1-6 2-3 3-4 4-5 5-6

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

L5 STRUCTURE UPLOADED

=> d 15 L5 HAS NO ANSWERS L5 STR



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=> s 15 sss full FULL SEARCH INITIATED 19:50:54 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 575 TO ITERATE 100.0% PROCESSED 575 ITERATIONS 13 ANSWERS

SEARCH TIME: 00.00.01

L6 13 SEA SSS FUL L5

=> file caplus

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 SINCE FILE ENTRY SESSION 178.82
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=> s 16 L7 4 L6

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L7 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN GI

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB Process for producing compds. I [X = CR7, N; Y = CR6, N; R2 = (un)substituted alkyl, cycloalkyl, aryl, etc.; R3 = halo, alkyl, 0-alkyl; R4 = (un)substituted cycloalkyl, non aromatic heterocycle, alkyl substituted by cycloalkyl; further detail on R4 is given.; R5 = H, halo, cyano, etc.; R6 = H, halo, alkyl, etc.; R7 = H, halo, alkyl, etc.; R11 = H, (un)substituted alkyl, optionally substituted amino by (un)substituted alkyl; R12 = H, (un)substituted alkyl, aryl; R11 and R12 may combine to form cyclic amino group in cooperation with the adjacent nitrogen.] or their pharmaceutically acceptable salts, characterized by reaction of compds. II [X, Y, R2-R5 = same as above] or active derivs. thereof with NHR112 [R11, R12 = same as above], was provided. For example, to a

solution of compound III [R = OH_1 R' = cyclopentyl] (400 mg) in OMF (5.0 mL) was added 1,1'-carbonyldiimidazole (350 mg) at room temperature, the the reaction was stirred at 100 °C for 20 h. The resulting mixture was treated with Bt3M (0.2 mL) and glycine Et ester hydrochloride (180 mg) at room temperature for 5 h to give compound III [R = NHCH2CO2EE; R' =

cyclopenty1].
 In platelet aggregation inhibition assays, compound III [R =

NHCH2CH2P(:0)(OH)2; R' = 2,2-dimethyl-1,3-dioxan-5-yl] exhibited the

activity of 92%.

ACCESSION NUMBER: 2006:882644 CAPLUS

DOCUMENT NUMBER: 145:292885

TITLE: Quinolone and related compounds as platelet aggregation inhibitors, and process for the

preparation thereof

INVENTOR(S): Watanuki, Susumu; Koga, Yuji; Moritomo, Hiroyuki; Tsukamoto, Kazunari; Kaga, Daisuke; Okuda, Takao;

Hirayama, Fukushi; Moritani, Yumiko; Takahashi, Atsushi

PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 95pp.

CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese

LANGUAGE: Japa FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | | |
|------------------------|--------|------------|-----------------|----------|--|--|
| | | | | | | |
| JP 2006225379 | A | 20060831 | JP 2006-9367 | 20060118 | | |
| PRIORITY APPLN. INFO.: | | | JP 2005-12618 A | 20050120 | | |
| OTHER SOURCE(S): | MARPAT | 145:292885 | | | | |

R12

L7 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN GI

Me Me

AB Title compds. I [X = CR7, N; Y = CR6, N; R11 = H, (un)substituted alkyl, optionally substituted amino by (un)substituted alkyl; R12 = H, (un)substituted alkyl, aryl; R11 and R12 may combine to form a (un)substituted alkyl, aryl; R11 and R12 may combine to form a (un)substituted alkyl; aryl; R14 = (un)substituted alkyl, cycloalkyl, aryl, etc.; R3 = halo, alkyl, -0-alkyl; R4 = (un)substituted alkyl, cycloalkyl, non aromatic heterocycle, alkyl substituted by cycloalkyl; further detail on R4 is given.; R5 = H, halo, cyano, etc.; R6 = H, halo, alkyl, etc.; R7 = H, halo, alkyl, etc.] and their pharmaceutically acceptable salts were prepared For example, Pd/C catalyzed debenzylation of compound II [R = CG12Ph] under H2 afforded compound II [R = OH]. In platelet aggregation inhibition assays, compound II [R = OH] swhibited the activity of 92%.

ACCESSION NUMBER: 2006:882641 CAPLUS

DOCUMENT NUMBER: 145:292884

TITLE: Preparation of quinolone derivatives as platelet

aggregation inhibitors
INVENTOR(S): Watanuki, Susumu; Koga

INVENTOR(S): Watanuki, Susumu; Koga, Yuji; Moritomo, Hiroyuki; Tsukamoto, Kazunari; Kaga, Daisuke; Okuda, Takao; Hirayama, Fukushi; Moritani, Yumiko; Takasaki, Atsushi

PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 95pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | | |
|------------------------|--------|------------|-----------------|----------|--|--|
| | | | | | | |
| JP 2006225378 | A | 20060831 | JP 2006-9349 | 20060118 | | |
| PRIORITY APPLN. INFO.: | | | JP 2005-12561 A | 20050120 | | |
| OTHER SOURCE(S): | MARPAT | 145:292884 | | | | |

L7 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN GI

AB The title compds. (I) and pharmaceutically acceptable salts thereof characterized by each having an amide group at the 3-position which is substituted with a substituent having a carboxylate ester, phosphate ester, sulfate ester or the like, and an amino group at the 7-position which is substituted with a substituent having a ring structure [Y = C-R6; R6 = H, halo, lower alkyl, halo-lower alkyl; R2 = each (un)substituted lower alkyl, cycloalkyl, aryl, or heterocyclyl; R3 = halo; R5 = H, HO, halo; R11 = H, lower alkyl or lower alkyl-amino wherein lower alkyl is optionally substituted; R12 = (un)substituted lower alkyl] are prepared These compds. have excellent P2Y12 (adenine diphosphate receptor) inhibitory effect and platelet applutination inhibitory effect and consequently are useful as platelet applutination inhibitors. Thus, hydrogenolysis of [2-(([7-(Cyclohexylamino)-1-(2,2-dimethyl-1,3-dioxan-5yl)-6-fluoro-4-oxo-1,4-dihydroquinolin-3-yl]carbonyl)amino)ethyl]phosphoni c acid dibenzyl ester over 10% Pd-C in MeOH under hydrogen atmospheric for 3 h gave [2-(([7-(Cyclohexylamino)-1-(2,2-dimethyl-1,3-dioxan-5-yl)-6-fluoro-4oxo-1, 4-dihydroquinolin-3-yl]carbonyl)amino)ethyl]phosphonic acid (II). II inhibited ADP-induced aggregation of human blood platelet by 92% at 10

µM and the binding of [3H]-2-MeS-ADP to human P2Y12 by 96% at 30 nM. ACCESSION NUMBER: 2006:733081 CAPLUS

DOCUMENT NUMBER: 145:188746

TITLE: Preparation of 4-quinolone-3-carboxamide derivatives and salts thereof as platelet aggregation inhibitors INVENTOR(S):

Koga, Yuji; Okuda, Takao; Hirabayashi, Ryoji; Fujiyasu, Jiro; Miyazaki, Takehiro; Watanuki, Susumu; Hirayama, Fukushi; Moritani, Yumiko; Takasaki, Jun

PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan PCT Int. Appl., 150 pp.

SOURCE: CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT | KIND | | DATE | | APPLICATION NO. | | | | | | DATE | | | | | | |
|---------------|------|------|------|-----|-----------------|----------|------|-----|---------------|------|----------|-----|-----|------------|------|-----|--|
| | | | | | | | | | | | | | | | | | |
| WO 2006077851 | | | | A1 | | 20060727 | | | WO 2 | 006- | 20060118 | | | | | | |
| W: | ΑE, | AG, | AL, | AM, | ΑT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | |
| | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KΕ, | KG, | KM, | KN, | KΡ, | KR, | |
| | ΚZ, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | LY, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | |
| | | | | | | NZ, | | | | | | | | | | | |
| | SG, | SK, | SL, | SM, | SY, | ТJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UΖ, | VC, | |
| | VN, | YU, | ZA, | ZM, | ZW | | | | | | | | | | | | |
| RW: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, | |
| | IS, | IT, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, | BJ, | |
| | CF, | CG, | CI, | CM, | GΑ, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG, | BW, | GH, | |
| | | | | | | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | ΑM, | ΑZ, | BY, | |
| | KG, | KZ, | MD, | RU, | ΤJ, | TM | | | | | | | | | | | |
| JP 2008 | 0947 | 20 | | A | | 2008 | 0424 | | JP 2 | 005- | 1271 | ō | | 20 | 0050 | 120 | |
| RITY APP | LN. | INFO | . : | | | | | | JP 2005-12715 | | | | | A 20050120 | | | |
| R SOURCE | (S): | | | MAR | PAT | 145: | 1887 | 16 | | | | | | | | | |

PRIOR OTHER REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB Title compds. I [X = CR7, N; Y = CR6, N; R11 = H, (un)substituted alkyl, etc.; R12 = H, (un)substituted alkyl, etc.; R2 = (un)substituted alkyl, etc.; R3 = halo, etc.; R4 = (un)substituted cycloalkyl, etc.; R5 = H, halo, etc.; R6 = H, halo, etc.; R7 = H, halo, etc.; were prepared For example, hydrogenolysis of compound II [A = OR2Ph] afforded compound II [A = OH]. In platelet aggregation inhibition assays, compound II [A = OH] exhibited inhibition activity of 92%. Compds. I are claimed useful as platelet aggregation inhibitors, P2Y12 inhibitors.

Ι

ACCESSION NUMBER:

DOCUMENT NUMBER: TITLE:

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
PATENT NO.

2005:99478 CAPLUS 142:197896 Preparation of quinolone derivatives as platelet

II

aggregation inhibitors

Watanuki, Susumu; Koga, Yuji; Moritomo, Hiroyuki; Tsukamoto, Issei; Kaga, Daisuke; Okuda, Takao; Hirayama, Fukushi; Moritani, Yumiko; Takasaki, Jun Yamanouchi Pharmaceutical Co., Ltd., Japan

PCT Int. Appl., 120 pp. CODEN: PIXXD2

Patent

Japanese

KIND DATE APPLICATION NO. DATE

A1 20050203 WO 2004—JP10781 200407
AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA,
CU, CZ, DB, DK, DM, DZ, EC, ER, EG, ES, ET, ER

WO 2005009971 A1 20050203 WO 2004—JP10781 20040722
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, F1, GB, GD, GB, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, XZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, TU, ZA, ZM, ZW, AN, EW, BM, GH, GM, GH, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,

AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG 20040720 JP 2005053903 20050303 JP 2004-212326 A CA 2530352 A1 20050203 CA 2004-2530352 A1 20060426 EP 2004-748045 20040722 EP 1650192 20040722 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK 20060830 CN 2004-80021187 CN 1826321 A 20040722 US 20060148806 A1 20060706 US 2005-562128 20051223 IN 2006DN00144 MX 2006PA00675 A 20070824 IN 2006-DN144 20060109 A 20060419 MX 2006-PA675 20060118 PRIORITY APPLN. INFO.: JP 2003-278852 A 20030724 WO 2004-JP10781 W 20040722

OTHER SOURCE(S): MARPAT 142:197896

REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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chain nodes:
11 12 13 14 15 16 17 18
ring nodes:
12 3 4 5 6 7 8 9 10
chain bonds:
2-14 3-18 7-17 8-11 11-12 11-16 12-13 14-15
ring bonds:
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
exact/norm bonds:
2-14 5-7 6-10 7-8 7-17 8-9 9-10 11-12 11-16 12-13
exact bonds:
3-18 8-11 14-15
normalized bonds:
1-2 1-6 2-3 3-4 4-5 5-6

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

L8 STRUCTURE UPLOADED

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1 2 3 4 5 6 7 8 9 10 chain bonds : 2-14 3-18 7-17 8-11 11-12 11-16 12-13 14-15 ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 exact/norm bonds : 2-14 5-7 6-10 7-8 7-17 8-9 9-10 11-12 11-16 12-13 exact bonds : 3-18 8-11 14-15 normalized bonds : 1-2 1-6 2-3 3-4 4-5 5-6

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

T-10 STRUCTURE UPLOADED

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Structure attributes must be viewed using STN Express query preparation.

FULL SEARCH INITIATED 19:53:14 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 1035 TO ITERATE

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L12 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Process for producing compds. I [X = CR7, N; Y = CR6, N; R2 = (un) substituted alkyl, cycloalkyl, aryl, etc.; R3 = halo, alkyl, O-alkyl; R4 = (un)substituted cycloalkyl, non aromatic heterocycle, alkyl substituted by cycloalkyl; further detail on R4 is given.; R5 = H, halo, cyano, etc.; R6 = H, halo, alkyl, etc.; R7 = H, halo, alkyl, etc.; R11 = H, (un) substituted alkyl, optionally substituted amino by (un) substituted alkyl; R12 = H, (un) substituted alkyl, aryl; R11 and R12 may combine to form cyclic amino group in cooperation with the adjacent nitrogen.] or their pharmaceutically acceptable salts, characterized by reaction of compds. II [X, Y, R2-R5 = same as above] or active derivs. thereof with NHR11R12 [R11, R12 = same as above], was provided. For example, to a solution of compound III [R = OH; R' = cyclopentyl] (400 mg) in DMF (5.0 mL) was added 1,1'-carbonyldiimidazole (350 mg) at room temperature, the the reaction was stirred at 100 °C for 20 h. The resulting mixture was treated with Et3N (0.2 mL) and glycine Et ester hydrochloride (180 mg) at room temperature for 5 h to give compound III [R = NHCH2CO2Et; R' = cvclopentvl1.

In platelet aggregation inhibition assays, compound III [R = NRCH2CH2P(:0) (OH)2; R' = 2,2-dimethyl-1,3-dioxan-5-yl] exhibited the activity of 92%.

ACCESSION NUMBER: 2006:882644 CAPLUS

DOCUMENT NUMBER: 145:292885

TITLE: Quinolone and related compounds as platelet aggregation inhibitors, and process for the preparation thereof

Watanuki, Susumu; Koga, Yuji; Moritomo, Hiroyuki;

INVENTOR(S):

Tsukamoto, Kazunari; Kaga, Daisuke; Okuda, Takao; Hirayama, Fukushi; Moritani, Yumiko; Takahashi,

Atsushi
PATENT ASSIGNEE(S): Astella:

Astellas Pharma Inc., Japan

Jpn. Kokai Tokkyo Koho, 95pp. CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

SOURCE:

 PATENT NO.
 KIND
 DATE
 APPLICATION NO.
 DATE

 JP 2006225379
 A
 20060831
 JP 2006-9367
 20060118

 PRIORITY APPLIN. INFO:
 OTHER SOURCE(5):
 MARPAT 145:292885
 P 2005-12618
 A
 20050120

L12 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN GI

AB Title compds. I [X = CR7, N, Y = CR6, N, R11 = H, (un)substituted alkyl, optionally substituted amino by (un)substituted alkyl; R12 = H, (un)substituted alkyl, aryl; R11 and R12 may combine to form a (un)substituted eyelic amino group in cooperation with the adjacent nitrogen; R2 = (un)substituted alkyl, cycloalkyl, aryl, etc.; R3 = halo, alkyl, -0-alkyl; R4 = (un)substituted eyeloalkyl, non aromatic heterocycle, alkyl substituted by cycloalkyl; further detail on R4 is given.; R5 = H, halo, cannot expect eyeloalkyl; etc.; R7 = H, halo, alkyl, etc.] and their pharmaceutically acceptable salts were prepared For example, Pd/C catalyzed debenzylation of compound II [R = OCH2Ph] under H2 afforded compound II [R = OH]. In platelet aggregation inhibition assays, compound II [R = OH] exhibited the activity of 92%.

ACCESSION NUMBER: 2006.882641 CAPLUS

II

DOCUMENT NUMBER: 145:292884

TITLE: Preparation of quinolone derivatives as platelet

aggregation inhibitors
INVENTOR(S): Watanuki, Susumu; Koga

Watanuki, Susumu; Koga, Yuji; Moritomo, Hiroyuki; Tsukamoto, Kazunari; Kaga, Daisuke; Okuda, Takao; Hirayama, Fukushi; Moritani, Yumiko; Takasaki, Atsushi

PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 95pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

JP 2006225378 A 20060831 JP 2006-9349 20060118
PRIORITY APPLN. INFO::
OTHER SOURCE(S): MARPAT 145:292884

L12 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN GI

B Title compds. I [X = CR7, N; Y = CR6, N; R11 = H, (un)substituted alkyl, etc.; R12 = H, (un)substituted alkyl, etc.; R3 = halo, etc.; R4 = (un)substituted cycloalkyl, etc.; R5 = H, halo, etc.; R6 = H, halo, etc.; R7 = H, halo, etc.] were prepared For example, hydrogenolysis of compound II [A = CM2Ph] afforded compound II [A = CM2Ph] afforded compound II [A = CM3Ph] exhibited inhibition activity of 92%. Compds. I are claimed useful as platelet aggregation inhibitors, P2Yl2 inhibitors.

ΙI

ACCESSION NUMBER: 2005:99478 CAPLUS

DOCUMENT NUMBER: 142:197896

Preparation of quinolone derivatives as platelet

RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

aggregation inhibitors

Watanuki, Susumu; Koga, Yuji; Moritomo, Hiroyuki; INVENTOR(S): Tsukamoto, Issei; Kaga, Daisuke; Okuda, Takao;

Hirayama, Fukushi; Moritani, Yumiko; Takasaki, Jun PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 120 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

TITLE:

| PATENT | | | | | | APPLICATION NO. | | | | | | | | | |
|--------------|---------|-------|-------------|--------|------|-----------------|------|------|------|------|----------|------|------|---------|--|
| WO 2005 | 009971 | | A1 20050203 | | | WO 2004-JP10781 | | | | | 20040722 | | | | |
| W: | AE, AG | , AL, | AM, A | r, AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | |
| | CN, CC | , CR, | CU, C | Z, DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | GE, GH | , GM, | HR, H | J, ID, | IL, | IN, | IS, | JP, | KE. | KG, | KP. | KR, | KZ, | LC, | |
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| | NO, NZ | , OM, | PG, P | H, PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SY, | |
| | TJ, TM | , TN, | TR, T | r, TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW | |
| RW: | BW, GH | , GM, | KE, L | S, MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | |
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| | EE, ES | , FI, | FR, G | 3, GR, | HU, | ΙE, | IT, | LU, | MC, | NL, | PL, | PT, | RO, | SE, | |
| | SI, SK | , TR, | BF, B | J, CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | |
| | SN, TE | | | | | | | | | | | | | | |
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| CA 2530 | | | | | | | | | | | | | | | |
| EP 1650 | | | | | | | | | | | | | | | |
| R: | AT, BE | , CH, | DE, D | K, ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, | |
| | IE, SI | | | | | | | | | | | | | | |
| CN 1826 | | | | | | | | | | | | | | | |
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| IN 2006 | | | | | | | | | | | | | | | |
| MX 2006 | | | A | 2006 | 0419 | | | | | | | | | | |
| PRIORITY APP | LN. INF | 0.: | | | | | | | 2788 | | | | | | |
| | | | | | | | WO 2 | 004- | JP10 | 781 | | W 2 | 0040 | 722 | |
| OTHER SOURCE | | | | | | | | | | | | | | | |
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